

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
23 October 2003 (23.10.2003)

PCT

(10) International Publication Number  
WO 03/087101 A1

(51) International Patent Classification<sup>7</sup>: C07D 487/04,  
A61K 31/519, A61P 35/00 // (C07D 487/04, 257:00)

MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD,  
SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US,  
UZ, VC, VN, YU, ZA, ZM, ZW.

(21) International Application Number: PCT/EP03/03986

(22) International Filing Date: 14 April 2003 (14.04.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
02076448.6 15 April 2002 (15.04.2002) EP

(71) Applicant (for all designated States except US):  
JANSSEN PHARMACEUTICA N.V. [BE/BE]; Turn-  
houtseweg 30, B-2340 Beerse (BE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): ANGIBAUD,  
Patrick, René [FR/FR]; Janssen-Cilag S.A., 1, rue Camille  
Desmoulins, TSA 91003, F-92787 Issy-les-Moulineaux  
Cedex 9 (FR). VENET, Marc, Gaston [FR/FR]; 10  
Square de Bourgogne, F-76240 Le Mesnil Esnard (FR).  
ARGOULLON, Jean Michel, Jacques, Raymond  
[FR/FR]; Janssen-Cilag S.A., 1, rue Camille Desmoulins,  
TSA 91003, F-92787 Issy-les-Moulineaux Cedex 9 (FR).

(74) Common Representative: JANSSEN PHARMACEU-  
TICA N.V.; Patent Department, Karen Vermuyten- ext.  
3599, Turnhoutseweg 30, B-2340 Beerse (BE).

(81) Designated States (national): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,  
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,

(84) Designated States (regional): ARIPO patent (GH, GM,  
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,  
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,  
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

#### Declarations under Rule 4.17:

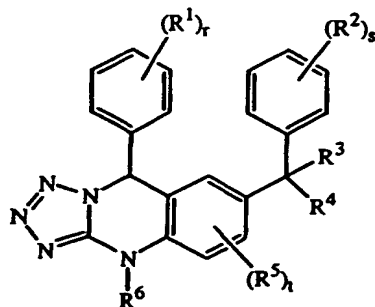
- as to applicant's entitlement to apply for and be granted  
a patent (Rule 4.17(ii)) for the following designations AE,  
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,  
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,  
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,  
MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU,  
SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG,  
UZ, VC, VN, YU, ZA, ZM, ZW), Eurasian patent (GH, GM,  
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian  
patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European  
patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR,  
GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR),  
OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,  
ML, MR, NE, SN, TD, TG)
- as to the applicant's entitlement to claim the priority of the  
earlier application (Rule 4.17(iii)) for all designations
- of inventorship (Rule 4.17(iv)) for US only

#### Published:

- with international search report

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: FARNESYL TRANSFERASE INHIBITING TRICYCLIC QUINAZOLINE DERIVATIVES SUBSTITUTED WITH  
CARBON-LINKED IMIDAZOLES OR TRIAZOLES



(I)

(57) Abstract: This invention comprises the novel compounds of for-  
mula (I) wherein r, s, t, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, and R<sup>6</sup> have defined meanings,  
having farnesyl transferase inhibiting activity; their preparation, compo-  
sitions containing them and their use as a medicine.